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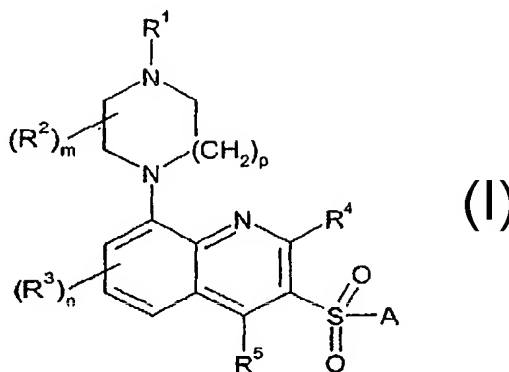
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[Continued on next page]

(54) Title: QUINOLINE DERIVATIVES AND THEIR USE AS 5-HT₆ LIGANDS



(57) Abstract: The present invention relates to quinoline compounds of formula (I) or a pharmaceutically acceptable salt thereof, wherein R¹ and R² independently represent hydrogen or C₁₋₆ alkyl or R¹ is linked to R² to form a group (CH₂)₂, (CH₂)₃ or (CH₂)₄; R³, R⁴ and R⁵ independently represent hydrogen, halogen, cyano, -CF₃, -CF₃O, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkanoyl or a group -CONR⁶R⁷; m represents an integer from 1 to 4, such that when m is an integer greater than 1, two R² groups may instead be linked to form a group CH₂, (CH₂)₂ or (CH₂)₃; n represents an integer from 1 to 3; p represents 1 or 2; A represents a group -Ar¹ or -Ar²Ar³; Ar¹, Ar² and Ar³ independently represent an aryl group or a heteroaryl group, both of which may be optionally substituted by one or more substituents having pharmacological activity, to processes for their preparation, to compositions containing them and to their use in the treatment of CNS and other disorders.



European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

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INTERNATIONAL SEARCH REPORT

Intern: I Application No

PCT, L. 03/03197

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D215/40 A61K31/47 A61P25/00 C07D487/04 C07D487/08

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

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Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 99 65906 A (ALLELIX BIOPHARMACEUTICALS INC.) 23 December 1999 (1999-12-23) cited in the application claims ---	1, 22-24
A	WO 00 63203 A (ALLELIX BIOPHARMACEUTICALS INC.) 26 October 2000 (2000-10-26) claims -----	1, 22-24

☐ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

° Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *G* document member of the same patent family

Date of the actual completion of the international search

29 October 2003

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12/11/2003

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INTERNATIONAL SEARCH REPORT

Int ional application No.
CT/EP 03/03197

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 27-28 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Intern Application No
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Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9965906	A	23-12-1999	US 6251893 B1 26-06-2001
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